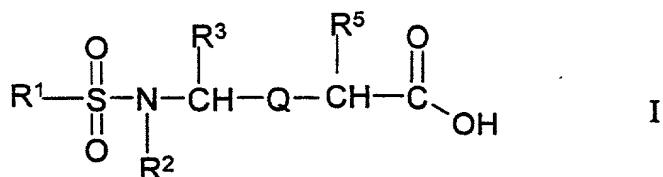


**WHAT IS CLAIMED IS:**

1. A compound of formula I:

5



10 wherein

$\text{R}^1$  is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

15  $\text{R}^2$  is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and  $\text{R}^1$  and  $\text{R}^2$  together with the nitrogen atom bound to  $\text{R}^2$  and the  $\text{SO}_2$  group bound to  $\text{R}^1$  can form a heterocyclic or a substituted heterocyclic group;

20  $\text{R}^3$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when  $\text{R}^2$  does not form a heterocyclic group with  $\text{R}^1$ ,  $\text{R}^2$  and  $\text{R}^3$  together with the nitrogen atom bound to  $\text{R}^2$  and the carbon atom bound to  $\text{R}^3$  can form a heterocyclic or a substituted heterocyclic group;

25  $\text{R}^5$  is  $-(\text{CH}_2)_x-\text{Ar}-\text{R}'^5$  where  $\text{R}'^5$  is selected from the group consisting of  $-\text{O}-\text{Z}-\text{NR}^8\text{R}'^8$  and  $-\text{O}-\text{Z}-\text{R}^{12}$  wherein  $\text{R}^8$  and  $\text{R}'^8$  are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where  $\text{R}^8$  and  $\text{R}'^8$  are joined to form a heterocycle or a substituted heterocycle,  $\text{R}^{12}$  is

selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO<sub>2</sub>-,

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl,

5       x is an integer of from 1 to 4;

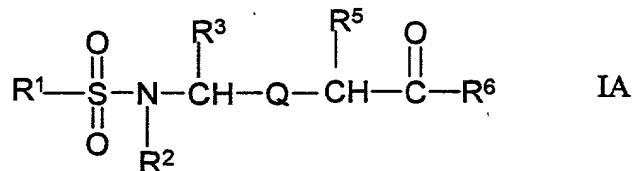
Q is -C(X)NR<sup>7</sup>- wherein R<sup>7</sup> is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof.

10

2.       A compound of formula IA:

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wherein

20

R<sup>1</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

25

R<sup>2</sup> is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom bound to R<sup>2</sup> and the SO<sub>2</sub> group bound to R<sup>1</sup> can form a heterocyclic or a substituted heterocyclic group;

30

R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R<sup>2</sup> does not form a heterocyclic group with R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> together with the

nitrogen atom bound to R<sup>2</sup> and the carbon atom bound to R<sup>3</sup> can form a heterocyclic or a substituted heterocyclic group;

R<sup>5</sup> is -(CH<sub>2</sub>)<sub>x</sub>-Ar-R<sup>5'</sup> and R<sup>5'</sup> is selected from the group consisting of -O-Z-NR<sup>8</sup>R<sup>8'</sup> and -O-Z-R<sup>12</sup> wherein R<sup>8</sup> and R<sup>8'</sup> are independently selected  
5 from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R<sup>8</sup> and R<sup>8'</sup> are joined to form a heterocycle or a substituted heterocycle, R<sup>12</sup> is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and  
10 -SO<sub>2</sub>-,

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl,

x is an integer of from 1 to 4;

R<sup>6</sup> is selected from the group consisting of amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-  
15 adamantly, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, -NH(CH<sub>2</sub>)<sub>p</sub>COOY where p is an integer of from 1 to 8 and Y is as defined above, -OCH<sub>2</sub>NR<sup>9</sup>R<sup>10</sup> where R<sup>9</sup> is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R<sup>10</sup> is selected from the group consisting of hydrogen and -CH<sub>2</sub>COOR<sup>11</sup>  
20 where R<sup>11</sup> is alkyl, and -NHSO<sub>2</sub>Z' where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

Q is -C(X)NR<sup>7</sup>- wherein R<sup>7</sup> is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen  
25 and sulfur;

and pharmaceutically acceptable salts thereof

with the following provisos

(A) when R<sup>1</sup> and R<sup>2</sup> together with the SO<sub>2</sub> group pendent to R<sup>1</sup> and the nitrogen pendent to R<sup>2</sup> form a saccharin-2-yl group, R<sup>3</sup> is -CH<sub>3</sub>, R<sup>5</sup> is  
30 p-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl and Q is -C(O)NH- then R<sup>6</sup> is not -OC(CH<sub>3</sub>)<sub>3</sub>;

(B) when R<sup>1</sup> is *p*-methylphenyl, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom pendent to R<sup>2</sup> and the carbon atom pendent to R<sup>3</sup> form a pyrrodinyl ring derived from D-proline; R<sup>5</sup> is *p*-[(4-methylpiperazin-1-yl)NC(O)O-]benzyl derived from D-phenylalanine and Q is -C(O)NH- then R<sup>6</sup> is not  
5 -OC(CH<sub>3</sub>)<sub>3</sub>;

(C) when R<sup>1</sup> is pyrimidin-2-yl, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom bound to R<sup>2</sup> and the carbon atom bound to R<sup>3</sup> form a pyrrolidinyl ring, R<sup>5</sup> is *p*-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl and Q is -C(O)NH- then R<sup>6</sup> is not  
-OC(CH<sub>3</sub>)<sub>3</sub>; and

10 (D) when R<sup>1</sup> is *p*-methylphenyl, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom pendent to R<sup>2</sup> and the carbon atom pendent to R<sup>3</sup> form a (2S)-piperazin-2-carbonyl ring; R<sup>5</sup> is *p*-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl and Q is -C(O)NH- then R<sup>6</sup> is not -OC(CH<sub>3</sub>)<sub>3</sub>.

15 3. A compound according to Claims 1 or 2 wherein R<sup>1</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl.

20 4. A compound according to Claims 1 or 2 wherein R<sup>1</sup> is selected from the group consisting of methyl, isopropyl, *n*-butyl, benzyl, phenethyl, phenyl, 4-methylphenyl, 4-*t*-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-*t*-butoxyphenyl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-(H<sub>2</sub>NC(O)-)phenyl, 4-(H<sub>2</sub>NC(S)-)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-(CH<sub>3</sub>C(O)NH-)phenyl, 4-(PhNHC(O)NH-)phenyl, 4-amidinophenyl, 4-

25

30

methylamidinophenyl, 4-(CH<sub>3</sub>SC(=NH)-)phenyl, 4-chloro-3-(H<sub>2</sub>NS(O)<sub>2</sub>-)phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyrimidin-2-yl, quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl, morpholin-4-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methylimidazol-4-yl, 1-N-methylpyrazol-3-yl, 1-N-methylpyrazol-4-yl, 1-N-butylpyrazol-4-yl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methyl-5-methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl.

5        5. A compound according to Claims 1 or 2 wherein R<sup>2</sup> is selected  
10 from the group consisting of hydrogen, methyl, phenyl, benzyl, -(CH<sub>2</sub>)<sub>2</sub>-2-thienyl, and -(CH<sub>2</sub>)<sub>2</sub>-Φ.

15        6. A compound according to Claims 1 or 2 wherein R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom bound to R<sup>2</sup> and the SO<sub>2</sub> group bound to R<sup>1</sup> are joined to form a heterocyclic group or substituted heterocyclic group.

20        7. A compound according to Claims 1 or 2 wherein R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom bound to R<sup>2</sup> substituent and the carbon bound to the R<sup>3</sup> substituent form a heterocyclic group or a substituted heterocyclic group.

25        8. A compound according to Claim 7 wherein the heterocyclic group is saturated.

30        9. A compound according to Claim 7 wherein the heterocyclic group is selected from the group consisting of azetidinyl, thiazolidinyl, piperidinyl, piperazinyl, dihydroindolyl, tetrahydroquinolinyl, thiomorpholinyl, pyrrolidinyl, 4-hydroxypyrrolidinyl, 4-oxopyrrolidinyl, 4-fluoropyrrolidinyl, 4,4-difluoropyrrolidinyl, 4-(thiomorpholin-4-ylC(O)O-)  
35        )pyrrolidinyl, 4-(CH<sub>3</sub>S(O)<sub>2</sub>O-)pyrrolidinyl, 3-phenylpyrrolidinyl, 3-thiophenylpyrrolidinyl, 4-aminopyrrolidinyl, 3-methoxypyrrrolidinyl, 4,4-

dimethylpyrrolidinyl, 4-N-Cbz-piperazinyl, 4-(CH<sub>3</sub>S(O)<sub>2</sub>-)piperazinyl, 5,5-dimethylthiazolidin-4-yl, 1,1-dioxo-thiazolidinyl, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiomorpholinyl.

5        10. A compound according to Claims 1 or 2 wherein R<sup>3</sup> is selected from the group consisting of methyl, phenyl, benzyl, diphenylmethyl, -CH<sub>2</sub>CH<sub>2</sub>-COOH, -CH<sub>2</sub>-COOH, 2-amidoethyl, *iso*-butyl, *t*-butyl, -CH<sub>2</sub>O-benzyl and hydroxymethyl.

10      11. A compound according to Claims 1 or 2 wherein Q is -C(O)NH- or -C(S)NH-.

15      12. A compound according to Claims 1 or 2 wherein Ar is aryl or substituted aryl.

13. A compound according to Claim 12 wherein Ar is phenyl or substituted phenyl and x is 1.

20      14. A compound according to Claim 1 or 2 wherein R<sup>5</sup> is selected from the group consisting of

3-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl,

4-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl,

4-[(CH<sub>3</sub>)<sub>2</sub>NS(O)<sub>2</sub>O-]benzyl,

25      4-[(piperidin-1'-yl)C(O)O-]benzyl,

4-[(piperidin-4'-yl)C(O)O-]benzyl,

4-[(1'-methylpiperidin-4'-yl)C(O)O-]benzyl,

4-[(4'-hydroxypiperidin-1'-yl)C(O)O-]benzyl,

4-[(4'-formyloxypiperidin-1'-yl)C(O)O-]benzyl,

30      4-[(4'-ethoxycarbonylpiperidin-1'-yl)C(O)O-]benzyl,

4-[(4'-carboxylpiperidin-1'-yl)C(O)O-]benzyl,

4-[(3'-hydroxymethylpiperidin-1'-yl)C(O)O-]benzyl,

4-[(4'-hydroxymethylpiperidin-1'-yl)C(O)O-]benzyl,  
4-[(4'-phenyl-1'-Boc-piperidin-4'-yl)-C(O)O-]benzyl,  
4-[(4'-piperidon-1'-yl ethylene ketal)C(O)O-]benzyl,  
4-[(piperazin-4'-yl)-C(O)O-]benzyl,  
5 4-[(1'-Boc-piperazin-4'-yl)-C(O)O-]benzyl,  
4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-methylhomopiperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-{(2-hydroxyethyl)piperazin-1'-yl})C(O)O-]benzyl,  
4-[(4'-phenylpiperazin-1'-yl)C(O)O-]benzyl,  
10 4-[(4'-(pyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-(4-trifluoromethylpyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-(pyrimidin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-acetylpiperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-(phenylC(O))-piperazin-1'-yl)C(O)O-]benzyl,  
15 4-[(4'-(pyridin-4-ylC(O))-piperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-(phenylNHC(O))-piperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-(phenylNHC(S))-piperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-methanesulfonylpiperazin-1'-yl-C(O)O-)benzyl,  
4-[(4'-trifluoromethanesulfonylpiperazin-1'-yl-C(O)O-)benzyl,  
20 4-[(morpholin-4'-yl)C(O)O-]benzyl,  
3-nitro-4-[(morpholin-4'-yl)-C(O)O-]benzyl,  
4-[(thiomorpholin-4'-yl)C(O)O-]benzyl,  
4-[(thiomorpholin-4'-yl sulfone)-C(O)O-]benzyl,  
4-[(pyrrolidin-1'-yl)C(O)O-]benzyl,  
25 4-[(2'-methylpyrrolidin-1'-yl)C(O)O-]benzyl,  
4-[(2'-(methoxycarbonyl)pyrrolidin-1'-yl)C(O)O-]benzyl,  
4-[(2'-(hydroxymethyl)pyrrolidin-1'-yl)C(O)O-]benzyl,  
4-[(2'-(N,N-dimethylamino)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,  
4-[(2'-(N-methyl-N-toluene-4-sulfonylamino)ethyl)(CH<sub>3</sub>)N-  
30 C(O)O-]benzyl,  
4-[(2'-(morpholin-4'-yl)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,

4-[(2'-(hydroxy)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,  
4-[bis(2'-(hydroxy)ethyl)NC(O)O-]benzyl,  
4-[(2'-(formyloxy)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,  
4-[(CH<sub>3</sub>OC(O)CH<sub>2</sub>)HNC(O)O-]benzyl,  
5 4-[2'-(phenylNHC(O)O-)ethyl-]HNC(O)O-]benzyl,  
3-chloro-4-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl,  
3-chloro-4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl,  
3-chloro-4-[(4'-(pyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,  
3-chloro-4-[(thiomorpholin-4'-yl)C(O)O-]benzyl, and  
10 3-fluoro-4-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl.

15. A compound according to Claim 2 wherein R<sup>6</sup> is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), methoxy, ethoxy, *n*-propoxy, isopropoxy, *n*-butoxy, *t*-butoxy, cyclopentoxy, 15 cyclopropylmethoxy, neopentoxy, 2- $\alpha$ -isopropyl-4- $\beta$ -methylcyclohexoxy, 2- $\beta$ -isopropyl-4- $\beta$ -methylcyclohexoxy, 2-methoxyphenoxy, 2-(morpholin-4-yl)ethoxy, -O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>2</sub>CH<sub>3</sub>, 2-(phenoxy)ethoxy, -OCH<sub>2</sub>C(CH<sub>3</sub>)<sub>2</sub>NHBoc, -NH<sub>2</sub>, benzyloxy, -NHCH<sub>2</sub>COOH, -NHCH<sub>2</sub>CH<sub>2</sub>COOH, -NH-adamantyl, -NHSO<sub>2</sub>-*p*-CH<sub>3</sub>- $\phi$ , -NHCH<sub>2</sub>CH<sub>2</sub>COOCH<sub>2</sub>CH<sub>3</sub>, -NHOY' where Y' is 20 hydrogen, methyl, *iso*-propyl or benzyl, -O-(N-succinimidyl), -O-cholest-5-en-3- $\beta$ -yl, -OCH<sub>2</sub>-OC(O)C(CH<sub>3</sub>)<sub>3</sub>, -O(CH<sub>2</sub>)<sub>z</sub>NHC(O)W where z is 1 or 2 and W is selected from the group consisting of pyrid-3-yl, N-methylpyridyl, and N-methyl-1,4-dihydro-pyrid-3-yl, -NR" C(O)-R' where R' is aryl, heteroaryl or heterocyclic and R" is hydrogen or -CH<sub>2</sub>C(O)OCH<sub>2</sub>CH<sub>3</sub>.

25

16. A compound selected from the group consisting of:

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

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*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine ethyl ester

5      *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

10     *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *n*-butyl ester

15     *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine cyclopentyl ester

20     *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

25     *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

30     *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *n*-butyl ester

35     *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine cyclopentyl ester

40     *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *tert*-butyl ester

45     *N*-(toluene-4-sulfonyl)-L-proyl-L-3-(*N,N*-dimethylcarbamyl)phenylalanine ethyl ester

45     *N*-(toluene-4-sulfonyl)-L-proyl-L-4-(1-*tert*-butylcarbonyloxy-4-phenylpiperidin-4-ylcarbonyloxy)phenylalanine ethyl ester

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

5      N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

10     N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

15     N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

20     N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyl)oxy)phenylalanine *tert*-butyl ester

25     N-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

30     N-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

35     N-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylaminosulfonyl)oxy)phenylalanine *tert*-butyl ester

40     N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

45     N-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)sarcosyl-L-4-(morpholin-4-ylcarbonyl)oxy)phenylalanine *tert*-butyl ester

5      *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

10     5      *N*-( $\alpha$ -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine

15     10     5      *N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)phenylalanine

20     15     10     5      *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *tert*-butyl ester

25     20     15     10     5      *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *N*-adamantyl amide

30     25     20     15     10     5      *N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *N*-adamantyl glycine

35     30     25     20     15     10     5      *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylaminosulfonyloxy)phenylalanine methyl ester

40     35     30     25     20     15     10     5      *N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *tert*-butyl ester

45     40     35     30     25     20     15     10     5      *N*-(toluene-4-sulfonyl)-L-(4-benzyloxycarbonylpiperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *tert*-butyl ester

50     45     40     35     30     25     20     15     10     5      *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(isonipectoyloxy)phenylalanine

55     50     45     40     35     30     25     20     15     10     5      *N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

60     55     50     45     40     35     30     25     20     15     10     5      *N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

65     60     55     50     45     40     35     30     25     20     15     10     5      *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *tert*-butyl ester

70     65     60     55     50     45     40     35     30     25     20     15     10     5      *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *tert*-butyl ester

75     70     65     60     55     50     45     40     35     30     25     20     15     10     5      *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

80     75     70     65     60     55     50     45     40     35     30     25     20     15     10     5      *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *tert*-butyl ester

5      *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

10     2-(saccharin-2-yl)propionoyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

15     *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

20     *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

25     *N*-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

30     *N*-(toluene-4-sulfonyl)-D-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

35     *N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

40     *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

45     *N*-(toluene-4-sulfonyl)-L-[[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N,N*-dimethylaminosulfonyloxy)phenylalanine *tert*-butyl ester

50     *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

55     *N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

60     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine

65     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

70     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine

75     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine

80     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

5      *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine neopentyl ester

10     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine neopentyl ester

15     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

20     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine ethyl ester

25     2-(saccharin-2-yl)propionoyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

30     2-(saccharin-2-yl)propionoyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine

35     *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

40     *N*-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester

45     *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

45     *N*-(4-fluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester

5      *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester

5      *N*-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester

10     *N*-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester

10     *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine

15     *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester

15     *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylaminosulfonyloxy)phenylalanine

20     *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine

20     *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester

25     *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester

25     *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine

30     *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine

35     *N*-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine

35     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine

40     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

45     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

5      *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-acetylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

10     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

15     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)-3-nitrophenylalanine

20     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine

25     *N*-(toluene-4-sulfonyl)-L-*N*-methyl-2-(*tert*-butyl)glycanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

30     *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *tert*-butyl ester

35     *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

40     *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

45     *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-*tert*-butyloxycarbonyl-2-(*N,N*-dimethylcarbamyl)oxy]phenylethyl]azetidine  
5      *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester  
10     *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester  
15     *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine  
20     *N*-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine  
25     *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester  
30     3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-carboxy-2-(*N,N*-dimethylcarbamyl)oxy]phenylethyl]azetidine  
35     *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester  
40     *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine  
45     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyl)oxy)phenylalanine *tert*-butyl ester  
      *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester  
      *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester  
      *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester  
      *N*-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine *tert*-butyl ester

5 N-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine *tert*-butyl ester

N-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine *tert*-butyl ester

10 N-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamylloxy)phenylalanine *tert*-butyl ester

N-(pyridine-2-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine

15 N-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamylloxy)phenylalanine *tert*-butyl ester

N-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamylloxy)phenylalanine *tert*-butyl ester

20 N-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamylloxy)phenylalanine *tert*-butyl ester

N-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine

25 N-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine *tert*-butyl ester

30 N-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine *tert*-butyl ester

35 N-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine isopropyl ester

N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine *iso*-propyl ester

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N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyl)phenylalanine *tert*-butyl ester

5 N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyl)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine ethyl ester

10 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-acetylpiperazin-1-ylcarbonyloxy)phenylalanine

15 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-phenylpiperazin-1-ylcarbonyloxy)phenylalanine

20 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(saccharin-2-yl)propionyl-L-4-(4'-methylpiperazin-1-ylcarbonyloxy)phenylalanine

25 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyl)phenylalanine ( $N'$ -*tert*-butoxycarbonyl-2-amino-2-methylpropyl) ester

30 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-acetylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-hydroxypiperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

35 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-(morpholin-4'-yl)ethyl)carbamyl)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine *tert*-butyl ester

40 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine *tert*-butyl ester

45 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-hydroxyethyl)-N-methylcarbamylloxy)phenylalanine *tert*-butyl ester

5 N-(toluene-4-sulfonyl)-L-prolyl-4-(4'-(2-hydroxyethyl)piperazin-1-ylcarbonyloxy)-L-phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-formyloxyethyl)-N-methylcarbamylloxy)phenylalanine

10 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-hydroxyethyl)-N-methylcarbamylloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(methoxycarbonylmethyl)carbamylloxy)phenylalanine *tert*-butyl ester

15 N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(4-N,N-dimethylcarbamylloxy)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methoxypiperidin-1-ylcarbonyloxy)phenylalanine isopropyl ester

20 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methoxypiperidin-1-ylcarbonyloxy)phenylalanine

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine *tert*-butyl ester

25 N-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine *tert*-butyl ester

N-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine *tert*-butyl ester

30 N-(morpholino-sulfonyl)-L-prolyl-L-(4-N,N-dimethylcarbamylloxy)phenylalanine *tert*-butyl ester

N-(morpholino-sulfonyl)-L-prolyl-L-(4-N,N-dimethylcarbamylloxy)phenylalanine

35 N-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamylloxy)phenylalanine *tert*-butyl ester

N-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-(N,N-dimethylcarbamylloxy)phenylalanine

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5      *N*-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-  
      L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

10     *N*-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine

15     *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl-thiaprolyl-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine isopropyl ester

20     *N*-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-  
      (*N,N*-dimethylcarbamyl)oxy)phenylalanine

25     *N*-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-  
      L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

30     *N*-(toluene-4-sulfonyl)-(3,3-dimethyl)prolyl-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine

35     *N*-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine

40     *N*-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine isopropyl ester

45     *N*-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

45     *N*-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine isopropyl ester

40     *N*-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine

45     *N*-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-  
      L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

5      *N*-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-  
      L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

10     *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-  
      ylcarbonyloxy)phenylalanine *tert*-butyl ester

15     *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-  
      ylcarbonyloxy)phenylalanine

20     *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine ethyl ester

25     *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine isopropyl ester

30     *N*-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine

35     *N*-(pyridine-2-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine

40     *N*-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine isopropyl ester

45     *N*-(3-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine isopropyl ester

*N*-(2-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine isopropyl ester

*N*-(3,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine isopropyl ester

*N*-(3,5-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine isopropyl ester

*N*-(2,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-  
      dimethylcarbamyl)oxy)phenylalanine isopropyl ester

5      *N*-(4-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

10     *N*-(3-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

15     *N*-(2-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

20     *N*-(3,4-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

25     *N*-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

30     *N*-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

35     *N*-(4-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

40     *N*-(3-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

45     *N*-(2-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

50     *N*-(3,4-dimethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

55     *N*-(2,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

60     *N*-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

65     *N*-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

70     *N*-(3-chloro-4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

5      *N*-(1-methylpyrazole-4-sulfonyl)-L-(thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

10     *N*-(3,4-difluorobenzenesulfonyl)-L-(thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

15     *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

20     *N*-(2,5-dichlorothiophene-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

25     *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

30     *N*-(8-quinolinesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

35     *N*-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

40     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

45     *N*-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

50     *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

55     *N*-(toluene-4-sulfonyl)-L-(4-ethoxycarbonyl)piperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

60     *N*-(3-sulfonamido-4-chloro-benzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

65     *N*-(toluene-4-sulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

N-(2,4-difluorobenzeneulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-  
4-(N,N-dimethylcarbamyl)phenylalanine *tert*-butyl ester

5      N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-  
dimethylcarbamyl)phenylalanine 2,2-dimethylpropyl ester

10     N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-  
dimethylcarbamyl)phenylalanine 2,2-dimethylpropyl ester

15     N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-  
dimethylcarbamyl)phenylalanine cyclopropylmethyl ester

20     N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-  
dimethylcarbamyl)phenylalanine methyl ester

25     N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-  
dimethylcarbamyl)phenylalanine cyclopropylmethyl ester

30     N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-  
dimethylcarbamyl)phenylalanine 2-methoxyphenyl ester

35     N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-  
dimethylcarbamyl)phenylalanine *n*-butyl ester

40     N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(4'-(2'-  
aminoethyl)morpholino)carbamyl)phenylalanine

45     N-(toluene-4-sulfonyl)-L-prolyl-L-4-[4-(carboxy)piperidin-1-  
ylcarbamyl]phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-bis-(2-  
hydroxyethyl)carbamyl)phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-  
ylcarbamyl]phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-trifluoromethanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

5      N-(4-(*N*-phenylurea)benzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester

10     N-(2-trifluoroacetyl-1,2,3,4-tetrahydroisoquinolin-7-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester

15     N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester

20     N-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester

25     N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamoyloxy)phenylalanine *tert*-butyl ester

30     N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamoyloxy)phenylalanine *tert*-butyl ester

35     N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamoyloxy)phenylalanine

40     N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

45     N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

45     N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(N,N-dimethylcarbamylloxy)]phenylalanine isopropyl ester  
 5 N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(N,N-dimethylcarbamylloxy)phenylalanine isopropyl ester  
 10 N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester  
 15 N-(toluene-4-sulfonyl)-L-prolyl-L-3-chloro-4-(N,N-dimethylcarbamylloxy)]phenylalanine isopropyl ester  
 20 N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester  
 25 N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine isopropyl ester  
 30 N-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine isopropyl ester  
 35 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester  
 40 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester  
 45 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine  
 N-(1-n-butylypyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine isopropyl ester  
 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyridin-4-ylcarbonyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester  
 N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine  
 N-(toluene-4-sulfonyl)-L-trans-4-hydroxyprolyl-L-4-(N,N-dimethylcarbamylloxy)phenylalanine

5      *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

10     *N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

15     *N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

20     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine

25     *N*-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

30     *N*-(1-methyl-1*H*-imidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine isopropyl ester

35     *N*-(toluene-4-sulfonyl)-L-4-(thiomorpholin-4-ylcarbonyloxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

40     *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine methyl ester

45     *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine methyl ester

50     *N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

55     *N*-(toluene-4-sulfonyl)-L-4-hydroxyprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

60     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine

65     *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine methyl ester

N-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyl)oxy)phenylalanine  
5 N-(toluene-4-sulfonyl)-L-prolyl-L-4-[N-methyl-N-(2-(N'-methyl-N-toluenesulfonyl-amino)ethyl)carbamyl]phenylalanine isopropyl ester  
10 N-(toluene-4-sulfonyl)-L-prolyl-L-4-[N-(2-(N'-phenylaminocarbonyl)oxy)ethyl)carbamyl]phenylalanine isopropyl ester  
15 N-(4-fluorobenzenesulfonyl)-L-4-(trans-hydroxy)prolyl-L-4-(N,N-dimethylcarbamyl)oxy)phenylalanine isopropyl ester  
20 N-(4-fluorobenzenesulfonyl)-L-4-(trans-hydroxy)prolyl-L-4-(N,N-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester  
25 N-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyl)oxy)phenylalanine  
30 piperazine-1,4-dicarboxylic acid bis-{4-[(2S)-2-*tert*-butoxycarbonyl-2-((4R)-5,5-dimethyl-3-(toluene-4-sulfonyl)thiazolidine-4-carboxamido)ethyl]phenyl} ester  
35 piperazine-1,4-dicarboxylic acid bis-{4-[(2S)-2-carboxy-2-((4R)-5,5-dimethyl-3-(toluene-4-sulfonyl)thiazolidine-4-carboxamido)ethyl]phenyl} ester  
40 N-(toluene-4-sulfonyl)-L-(pyrazin-3-carbonyl)-L-4-(N,N-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester  
45 N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyl)oxy)phenylalanine *tert*-butyl ester  
N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyl)oxy)phenylalanine  
N-(toluene-4-sulfonyl)-L-prolyl-L-4-(2-methoxycarbonylpiperazin-1-ylcarbonyl)oxy)phenylalanine *tert*-butyl ester  
N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyl)oxy)phenylalanine  
N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyl)oxy)phenylalanine

5           *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

10          piperazine-1,4-dicarboxylic acid bis-{4-[(2S)-2-isopropoxycarbonyl-2-((2R)-1-(toluene-4-sulfonyl)pyrrolidine-2-carboxamido)ethyl]phenyl}ester

15          *N*-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

20          *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine 2-(2-methoxyethoxy)ethyl ester

25          *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

30          *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-fluoro-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester

35          *N*-(toluene-4-sulfonyl)-L-(1-methanesulfonylpnazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester

40          *N*-[2-(1,1-dioxo-2,3-dihydro-3,3-dimethyl-1,2-benzisothiazol-2-yl)acetyl]-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine

45          *N*-[2-(*N*-2,10-camphorsultamyl)acetyl]-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester

50          *N*-[2-(*N*-2,10-camphorsultamyl)acetyl]-L-3-chloro-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester

55          *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester

60          *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine

65          *N*-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine

70          *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine

5 piperazine-1,4-dicarboxylic acid bis-{4-[(2S)-2-*tert*-butoxycarbonyl-2-((2R)-1-(toluene-4-sulfonyl)pyrrolidine-2-carboxamido)ethyl]phenyl} ester

10 N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester  
N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

15 N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester  
N-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

20 N-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine  
N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

25 N-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester  
N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

30 N-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine  
N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(*N*-phenylthiocarbonyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

35 N-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester  
piperazine-1,4-dicarboxylic acid bis-{4-[(2S)-2-carboxyl-2-((2R)-1-(toluene-4-sulfonyl)pyrrolidine-2-carboxamido)ethyl]phenyl} ester

40 N-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine *tert*-butyl ester

45 N-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyl)oxy)phenylalanine

5      *N*-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

10     *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

15     *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

20     *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

25     *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

30     *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester

35     *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

40     *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

45     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

50     *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

55     *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

60     *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

65     *N*-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine

*N*-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine

5      *N*-(methanesulfonyl)-*N*-benzylglycinyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine *tert*-butyl ester

10     *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

15     *N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyl)phenylalanine

20     *N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

25     *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

30     *N*-(4-fluorobenzenesulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

35     *N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

40     *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyl)phenylalanine

45     *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyl)phenylalanine isopropyl ester

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

*N*-(1-methylimidazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

*N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

*N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

5      *N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

10     *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

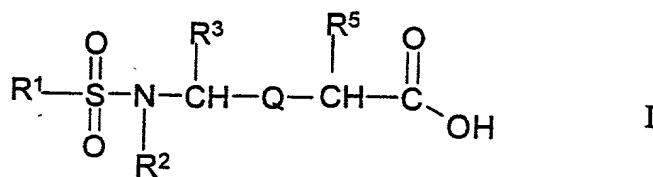
15     *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

20     *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

25     *N*-(3-chloro-1,5-dimethylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(5-trifluoromethyl-2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine  
and pharmaceutically acceptable salts thereof as well as any of the ester compounds recited above wherein one ester is replaced with another ester selected from the group consisting of methyl ester, ethyl ester, *n*-propyl ester, isopropyl ester, *n*-butyl ester, isobutyl ester, *sec*-butyl ester, *tert*-butyl ester and neopentyl ester.

30     17. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of formula I:

35



wherein

R<sup>1</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

5        R<sup>2</sup> is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom bound to R<sup>2</sup> and the SO<sub>2</sub> group bound to R<sup>1</sup> can form a heterocyclic or a substituted  
10      heterocyclic group;

15      R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R<sup>2</sup> does not form a heterocyclic group with R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom bound to R<sup>2</sup> and the carbon atom bound to R<sup>3</sup> can form a heterocyclic or a substituted heterocyclic group;

20      R<sup>5</sup> is -(CH<sub>2</sub>)<sub>x</sub>-Ar-R<sup>5'</sup> where R<sup>5'</sup> is selected from the group consisting of -O-Z-NR<sup>8</sup>R<sup>8'</sup> and -O-Z-R<sup>12</sup> wherein R<sup>8</sup> and R<sup>8'</sup> are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R<sup>8</sup> and R<sup>8'</sup> are joined to form a heterocycle or a substituted heterocycle, R<sup>12</sup> is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO<sub>2</sub>-;

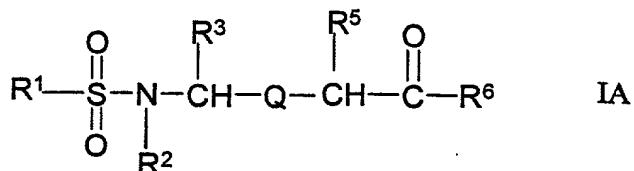
25      Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl,  
x is an integer of from 1 to 4;

Q is -C(X)NR<sup>7</sup>- wherein R<sup>7</sup> is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

30      and pharmaceutically acceptable salts thereof.

18. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of formula IA:

5



wherein

10  $\text{R}^1$  is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

15  $\text{R}^2$  is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and  $\text{R}^1$  and  $\text{R}^2$  together with the nitrogen atom bound to  $\text{R}^2$  and the  $\text{SO}_2$  group bound to  $\text{R}^1$  can form a heterocyclic or a substituted heterocyclic group;

20  $\text{R}^3$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when  $\text{R}^2$  does not form a heterocyclic group with  $\text{R}^1$ ,  $\text{R}^2$  and  $\text{R}^3$  together with the nitrogen atom bound to  $\text{R}^2$  and the carbon atom bound to  $\text{R}^3$  can form a heterocyclic or a substituted heterocyclic group;

25  $\text{R}^5$  is  $-(\text{CH}_2)_x-\text{Ar}-\text{R}^{5'}$  and  $\text{R}^{5'}$  is selected from the group consisting of  $-\text{O}-\text{Z}-\text{NR}^8\text{R}^{8'}$  and  $-\text{O}-\text{Z}-\text{R}^{12}$  wherein  $\text{R}^8$  and  $\text{R}^{8'}$  are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where  $\text{R}^8$  and  $\text{R}^{8'}$  are joined to form a heterocycle or a substituted heterocycle,  $\text{R}^{12}$  is selected from the group consisting of heterocycle and substituted heterocycle, and  $\text{Z}$  is selected from the group consisting of  $-\text{C}(\text{O})-$  and

-SO<sub>2</sub>-,

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl,

x is an integer of from 1 to 4;

R<sup>6</sup> is selected from the group consisting of amino, alkoxy, substituted  
5 alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-  
adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl,  
substituted alkyl, aryl, and substituted aryl, -NH(CH<sub>2</sub>)<sub>p</sub>COOY where p is an  
integer of from 1 to 8 and Y is as defined above, -OCH<sub>2</sub>NR<sup>9</sup>R<sup>10</sup> where R<sup>9</sup> is  
selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl  
10 and R<sup>10</sup> is selected from the group consisting of hydrogen and -CH<sub>2</sub>COOR<sup>11</sup>  
where R<sup>11</sup> is alkyl, and -NHSO<sub>2</sub>Z' where Z' is alkyl, substituted alkyl,  
cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl,  
substituted heteroaryl, heterocyclic and substituted heterocyclic;

Q is -C(X)NR<sup>7</sup>- wherein R<sup>7</sup> is selected from the group consisting of  
15 hydrogen and alkyl; and X is selected from the group consisting of oxygen  
and sulfur;  
and pharmaceutically acceptable salts thereof  
with the following provisos

(A) when R<sup>1</sup> and R<sup>2</sup> together with the SO<sub>2</sub> group pendent to R<sup>1</sup> and the  
20 nitrogen pendent to R<sup>2</sup> form a saccharin-2-yl group, R<sup>3</sup> is -CH<sub>3</sub>, R<sup>5</sup> is  
p-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl and Q is -C(O)NH- then R<sup>6</sup> is not -OC(CH<sub>3</sub>)<sub>3</sub>;

(B) when R<sup>1</sup> is p-methylphenyl, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen  
atom pendent to R<sup>2</sup> and the carbon atom pendent to R<sup>3</sup> form a pyrrodinyl  
ring derived from D-proline; R<sup>5</sup> is p-[(4-methylpiperazin-1-yl)NC(O)O-  
25]benzyl derived from D-phenylalanine and Q is -C(O)NH- then R<sup>6</sup> is not  
-OC(CH<sub>3</sub>)<sub>3</sub>; and

(C) when R<sup>1</sup> is pyrimidin-2-yl, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen  
atom bound to R<sup>2</sup> and the carbon atom bound to R<sup>3</sup> form a pyrrolidinyl ring,  
R<sup>5</sup> is p-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl and Q is -C(O)NH- then R<sup>6</sup> is not  
30 -OC(CH<sub>3</sub>)<sub>3</sub>.

19. A pharmaceutical composition according to Claims 17 or 18 wherein R<sup>1</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl.

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20. A pharmaceutical composition according to Claims 17 or 18 wherein R<sup>1</sup> is selected from the group consisting of methyl, isopropyl, n-butyl, benzyl, phenethyl, phenyl, 4-methylphenyl, 4-t-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-t-butoxyphenyl, 4-(3'-dimethylamino-n-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-(H<sub>2</sub>NC(O)-)phenyl, 4-(H<sub>2</sub>NC(S)-)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-(CH<sub>3</sub>C(O)NH-)phenyl, 4-(PhNHC(O)NH-)phenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-(CH<sub>3</sub>SC(=NH)-)phenyl, 4-chloro-3-(H<sub>2</sub>NS(O)<sub>2</sub>-)phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyrimidin-2-yl, quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl, morpholin-4-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methylimidazol-4-yl, 1-N-methylpyrazol-3-yl, 1-N-methylpyrazol-4-yl, 1-N-butylpyrazol-4-yl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methyl-5-methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl.

21. A pharmaceutical composition according to Claims 17 or 18 wherein R<sup>2</sup> is selected from the group consisting of hydrogen, methyl, phenyl, benzyl, -(CH<sub>2</sub>)<sub>2</sub>-2-thienyl, and -(CH<sub>2</sub>)<sub>2</sub>-Φ.

22. A pharmaceutical composition according to Claims 17 or 18 wherein R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom bound to R<sup>2</sup> and the SO<sub>2</sub> group bound to R<sup>1</sup> are joined to form a heterocyclic group or substituted heterocyclic group.

5

23. A pharmaceutical composition according to Claims 17 or 18 wherein R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom bound to R<sup>2</sup> substituent and the carbon bound to the R<sup>3</sup> substituent form a heterocyclic group or a substituted heterocyclic group.

10

24. A pharmaceutical composition according to Claim 23 wherein the heterocyclic group is saturated.

15

25. A pharmaceutical composition according to Claim 23 wherein the heterocyclic group is selected from the group consisting of azetidinyl, thiazolidinyl, piperidinyl, piperazinyl, dihydroindolyl, tetrahydroquinolinyl, thiomorpholinyl, pyrrolidinyl, 4-hydroxypyrrolidinyl, 4-oxopyrrolidinyl, 4-fluoropyrrolidinyl, 4,4-difluoropyrrolidinyl, 4-(thiomorpholin-4-yl)C(O)O-pyrrolidinyl, 4-(CH<sub>3</sub>S(O)<sub>2</sub>O-)pyrrolidinyl, 3-phenylpyrrolidinyl, 3-thiophenylpyrrolidinyl, 4-aminopyrrolidinyl, 3-methoxypyrrrolidinyl, 4,4-dimethylpyrrolidinyl, 4-N-Cbz-piperazinyl, 4-(CH<sub>3</sub>S(O)<sub>2</sub>-)piperazinyl, 5,5-dimethylthiazolidin-4-yl, 1,1-dioxo-thiazolidinyl, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiomorpholinyl.

20

26. A pharmaceutical composition according to Claims 17 or 18 wherein R<sup>3</sup> is selected from the group consisting of methyl, phenyl, benzyl, diphenylmethyl, -CH<sub>2</sub>CH<sub>2</sub>-COOH, -CH<sub>2</sub>-COOH, 2-amidoethyl, *iso*-butyl, *t*-butyl, -CH<sub>2</sub>O-benzyl and hydroxymethyl.

25

27. A pharmaceutical composition according to Claims 17 or 18 wherein Q is -C(O)NH- or -C(S)NH-.

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28. A pharmaceutical composition according to Claims 17 or 18 wherein Ar is aryl or substituted aryl.

5 29. A pharmaceutical composition according to Claim 28 wherein Ar is phenyl or substituted phenyl and  $x$  is 1.

30. A pharmaceutical composition according to Claim 17 or 18 wherein  $R^5$  is selected from the group consisting of

10        3-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl,  
          4-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl,  
          4-[(CH<sub>3</sub>)<sub>2</sub>NS(O)<sub>2</sub>O-]benzyl,  
          4-[(piperidin-1'-yl)C(O)O-]benzyl,  
          4-[(piperidin-4'-yl)C(O)O-]benzyl,  
15        4-[(1'-methylpiperidin-4'-yl)C(O)O-]benzyl,  
          4-[(4'-hydroxypiperidin-1'-yl)C(O)O-]benzyl,  
          4-[(4'-formyloxypiperidin-1'-yl)C(O)O-]benzyl,  
          4-[(4'-ethoxycarbonylpiperidin-1'-yl)C(O)O-]benzyl,  
          4-[(4'-carboxylpiperidin-1'-yl)C(O)O-]benzyl,  
20        4-[(3'-hydroxymethylpiperidin-1'-yl)C(O)O-]benzyl,  
          4-[(4'-hydroxymethylpiperidin-1'-yl)C(O)O-]benzyl,  
          4-[(4'-phenyl-1'-Boc-piperidin-4'-yl)-C(O)O-]benzyl,  
          4-[(4'-piperidon-1'-yl ethylene ketal)C(O)O-]benzyl,  
          4-[(piperazin-4'-yl)-C(O)O-]benzyl,  
25        4-[(1'-Boc-piperazin-4'-yl)-C(O)O-]benzyl,  
          4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl,  
          4-[(4'-methylhomopiperazin-1'-yl)C(O)O-]benzyl,  
          4-[(4'-(2-hydroxyethyl)piperazin-1'-yl)C(O)O-]benzyl,  
          4-[(4'-phenylpiperazin-1'-yl)C(O)O-]benzyl,  
30        4-[(4'-(pyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,  
          4-[(4'-(4-trifluoromethylpyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,

4-[(4'-(pyrimidin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-acetyl piperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-(phenylC(O)-)piperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-(pyridin-4-ylC(O)-)piperazin-1'-yl)C(O)O-]benzyl,  
5 4-[(4'-(phenylNHC(O)-)piperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-(phenylNHC(S)-)piperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-methanesulfonylpiperazin-1'-yl-C(O)O-)benzyl,  
4-[(4'-trifluoromethanesulfonylpiperazin-1'-yl-C(O)O-)benzyl,  
4-[(morpholin-4'-yl)C(O)O-]benzyl,  
10 3-nitro-4-[(morpholin-4'-yl)-C(O)O-]benzyl,  
4-[(thiomorpholin-4'-yl)C(O)O-]benzyl,  
4-[(thiomorpholin-4'-yl sulfone)-C(O)O-]benzyl,  
4-[(pyrrolidin-1'-yl)C(O)O-]benzyl,  
4-[(2'-methylpyrrolidin-1'-yl)C(O)O-]benzyl,  
15 4-[(2'-(methoxycarbonyl)pyrrolidin-1'-yl)C(O)O-]benzyl,  
4-[(2'-(hydroxymethyl)pyrrolidin-1'-yl)C(O)O-]benzyl,  
4-[(2'-(N,N-dimethylamino)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,  
4-[(2'-(N-methyl-N-toluene-4-sulfonylamino)ethyl)(CH<sub>3</sub>)N-  
C(O)O-]benzyl,  
20 4-[(2'-(morpholin-4'-yl)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,  
4-[(2'-(hydroxy)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,  
4-[bis(2'-(hydroxy)ethyl)NC(O)O-]benzyl,  
4-[(2'-(formyloxy)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,  
4-[(CH<sub>3</sub>OC(O)CH<sub>2</sub>)HNC(O)O-]benzyl,  
25 4-[2'-(phenylNHC(O)O-)-ethyl]-HNC(O)O-]benzyl,  
3-chloro-4-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl,  
3-chloro-4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl,  
3-chloro-4-[(4'-(pyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,  
3-chloro-4-[(thiomorpholin-4'-yl)C(O)O-]benzyl, and  
30 3-fluoro-4-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl.

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31. A pharmaceutical composition according to Claim 18 wherein R<sup>6</sup> is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), methoxy, ethoxy, *n*-propoxy, isopropoxy, *n*-butoxy, *t*-butoxy, cyclopentoxy, cyclopropylmethoxy, neopentoxy, 2- $\alpha$ -isopropyl-4- $\beta$ -methylcyclohexoxy, 2- $\beta$ -isopropyl-4- $\beta$ -methylcyclohexoxy, 2-methoxyphenoxy, 2-(morpholin-4-yl)ethoxy, -O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>2</sub>CH<sub>3</sub>, 2-(phenoxy)ethoxy, -OCH<sub>2</sub>C(CH<sub>3</sub>)<sub>2</sub>NHBoc, -NH<sub>2</sub>, benzyloxy, -NHCH<sub>2</sub>COOH, -NHCH<sub>2</sub>CH<sub>2</sub>COOH, -NH-adamantyl, -NHSO<sub>2</sub>-*p*-CH<sub>3</sub>- $\phi$ , -NHCH<sub>2</sub>CH<sub>2</sub>COOCH<sub>2</sub>CH<sub>3</sub>, -NHOY' where Y' is hydrogen, methyl, *iso*-propyl or benzyl, -O-(N-succinimidyl), -O-cholest-5-en-3- $\beta$ -yl, -OCH<sub>2</sub>-OC(O)C(CH<sub>3</sub>)<sub>3</sub>, -O(CH<sub>2</sub>)<sub>z</sub>NHC(O)W where z is 1 or 2 and W is selected from the group consisting of pyrid-3-yl, N-methylpyridyl, and N-methyl-1,4-dihydro-pyrid-3-yl, -NR"C(O)-R' where R' is aryl, heteroaryl or heterocyclic and R" is hydrogen or -CH<sub>2</sub>C(O)OCH<sub>2</sub>CH<sub>3</sub>.

15 32. A method for binding VLA-4 in a biological sample which method comprises contacting the biological sample with a compound of Claim 1 or 2 under conditions wherein said compound binds to VLA-4.

20 33. A method for treating an inflammatory condition in a mammalian patient which condition is mediated by VLA-4 which method comprises administering to said patient a therapeutically effective amount of a pharmaceutical composition of Claim 17 or 18.

25 34. The method according to Claim 33 wherein said inflammatory condition is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis, stroke, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia and acute leukocyte-mediated lung injury.